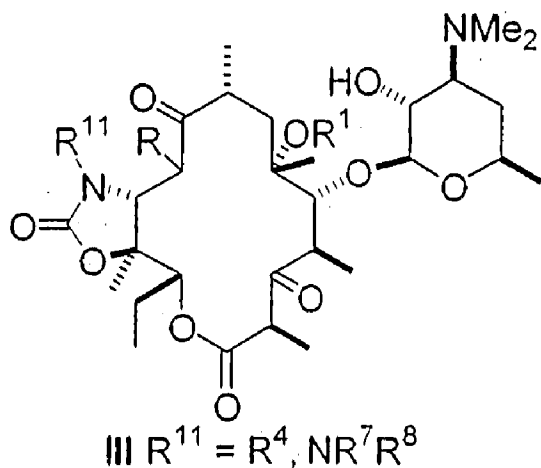


IN THE CLAIMS

1-5. (Cancelled)

6. (Currently Amended) A 10-desmethyl macrolide consisting of comprising formula III: |

(1) R is methyl substituted with one or more substituents selected from the group consisting of

(i) CN,

(ii) F,

(iii)  $CO_2R^3$  wherein  $R^3$  is selected from hydrogen,  $C_1$ - $C_3$ -alkyl or aryl substituted  $C_1$ - $C_3$ -alkyl, or heteroaryl substituted  $C_1$ - $C_3$ -alkyl,(iv)  $OR^4$  wherein  $R^4$  is selected from hydrogen,  $C_1$ - $C_4$ -alkyl or aryl substituted  $C_1$ - $C_4$ -alkyl, or heteroaryl substituted  $C_1$ - $C_4$ -alkyl, heterocycloalkyl and optionally substituted cycloalkyl,  $C_1$ - $C_3$ -alkoxy- $C_1$ - $C_3$ -alkoxy,  $C_2$ - $C_4$ -alkenyl or aryl substituted  $C_2$ - $C_4$ -alkenyl, or heteroaryl substituted  $C_2$ - $C_4$ -alkenyl, heterocycloalkyl and optionally substituted cycloalkyl, aryl or optionally substituted aryl, heteroaryl or optionally substituted heteroaryl,(v)  $S(O)_nR^3$  wherein  $n = 0, 1$  or  $2$  and  $R^3$  is as previously defined(vi)  $NR^4C(O)R^3$  wherein  $R^3$  and  $R^4$  are as previously defined(vii)  $NR^4C(O)NR^5R^6$  wherein  $R^4$  is defined as defined previously, and  $R^5$  and  $R^6$  are independently selected from hydrogen,  $C_1$ - $C_3$ -alkyl,  $C_1$ - $C_3$  alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl(viii)  $NR^7R^8$  wherein  $R^7$  and  $R^8$  are independently selected from the group consisting of

(a) hydrogen

(b)  $C_1$ - $C_{12}$ -alkyl, and optionally substituted  $C_1$ - $C_{12}$ -alkyl(c)  $C_2$ - $C_{12}$ -alkenyl, and optionally substituted  $C_2$ - $C_{12}$ -alkenyl(d)  $C_2$ - $C_{12}$ -alkynyl, and optionally substituted  $C_2$ - $C_{12}$ -alkynyl

- (e) aryl, and optionally substituted aryl
- (f) heteroaryl, and optionally substituted heteroaryl
- (g) heterocycloalkyl, and optionally substituted heterocycloalkyl
- (h) C<sub>1</sub>-C<sub>12</sub> alkyl substituted with aryl, and optionally substituted with substituted aryl
- (i) C<sub>1</sub>-C<sub>12</sub> alkyl substituted with heteroaryl, and optionally substituted with substituted heteroaryl
- (j) C<sub>1</sub>-C<sub>12</sub> alkyl substituted with heterocycloalkyl, and with optionally substituted heterocycloalkyl, and
- (k) R<sup>7</sup> and R<sup>8</sup> taken together with the atom to which they are attached from a 3-10- membered heterocycloalkyl ring which may contain one or more additional heteroatoms and may be substituted with one or more substituents independently selected from the group consisting of
  - (aa) halogen, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, alkoxy-C<sub>1</sub>-C<sub>3</sub>- alkoxy, oxo, C<sub>1</sub>-C<sub>3</sub>-alkyl, aryl and optionally substituted aryl, heteroaryl and optional substituted heteroaryl
  - (bb) CO<sub>2</sub>R<sup>3</sup> wherein R<sup>3</sup> is as previously defined, and
  - (cc) C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>5</sup> and R<sup>6</sup> are as previously defined,
- (ix) aryl, and optionally substituted aryl, and
- (x) heteroaryl, and optionally substituted heteroaryl,
- (2) C<sub>2</sub>-C<sub>10</sub>-alkyl,
- (3) C<sub>2</sub>-C<sub>10</sub>-alkyl substituted with one or more substituents selected from the group consisting of
  - (i) halogen,
  - (ii) OR<sup>4</sup> wherein R<sup>4</sup> is as defined previously
  - (iii)-CHO,
  - (iv) oxo,
  - (v) NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are defined as previously
  - (vi) =N-O-R<sup>4</sup> is wherein R<sup>3</sup> is as previously defined
  - (vii)-CN
  - (viii)-S(O)<sub>n</sub>R<sup>3</sup> wherein n = 0, 1 or 2 and R<sup>3</sup> is as previously defined
- (ix) aryl, and optionally substituted aryl
- (x) heteroaryl, and optionally substituted heteroaryl
- (xi) C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, and optionally substituted C<sub>3</sub>-C<sub>8</sub>-cycloalkyl
- (xii) heterocycloalkyl, and optionally substituted heterocycloalkyl
- (xiii) NR<sup>4</sup>C(O)R<sup>3</sup> where R<sup>3</sup> and R<sup>4</sup> are as previously defined
- (xiv) NR<sup>4</sup>C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as previously defined
- (xv) =N-NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined
- (xvi)=N-R<sup>4</sup> wherein R<sup>4</sup> is as previously defined
- (xvii)=N-NR<sup>4</sup>C(O)R<sup>3</sup> wherein R<sup>3</sup> and R<sup>4</sup> are as previously defined, and

- (xviii)  $=N-NR^4C(O)NR^5R^6$  wherein  $R^4$ ,  $R^5$  and  $R^6$  are as previously defined,
- (4)  $C_2-C_{10}$ -alkenyl,
- (5)  $C_2-C_{10}$ -alkenyl substituted with one or more substituents selected from the group consisting of
- (i) halogen,
  - (ii)  $OR^4$  wherein  $R^4$  is as previously defined
  - (iii)  $O-S(O)_nR^3$  where  $n$  and  $R^3$  are as previously defined
  - (iv)  $-CHO$ ,
  - (v) oxo,
  - (vi)  $-CO_2R^3$  where  $R^3$  is as previously defined
  - (vii)  $-C(O)-R^4$  where  $R^4$  is as previously defined
  - (viii)  $-CN$
  - (ix) aryl, and optionally substituted aryl
  - (x) heteroaryl, and optionally substituted heteroaryl
  - (xi)  $C_3-C_7$ -cycloalkyl
  - (xii)  $C_1-C_{12}$ -alkyl substituted with heteroaryl
  - (xiii)  $NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
  - (xiv)  $NR^4C(O)R^3$  where  $R^3$  and  $R^4$  are as previously defined
  - (xv)  $NR^4C(O)NR^5R^6$  where  $R^4$ ,  $R^5$  and  $R^6$  are as previously defined
  - (xvi)  $=N-O-R^4$  where  $R^4$  is as previously defined
  - (xvii)  $=N-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
  - (xviii)  $=N-NR^4$  wherein  $R^4$  is as previously defined
  - (xix)  $=N-NR^4C(O)R^3$  wherein  $R^3$  and  $R^4$  are as previously defined, and
  - (xx)  $=N-NR^4C(O)NR^5R^6$  wherein  $R^4$ ,  $R^5$  and  $R^6$  are as previously defined,
- (6)  $C_2-C_{10}$ -alkynyl
- (7)  $C_2-C_{10}$ -alkynyl substituted with one or more substituents selected from the group consisting of
- (i) trialkylsilyl
  - (ii) halogen,
  - (iii)  $-CN$
  - (iv)  $OR^4$  where  $R^4$  is defined as previously
  - (v)  $-CHO$ ,
  - (vi) oxo,
  - (vii)  $-CO_2R^3$  where  $R^3$  is as previously defined
  - (viii)  $-C(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined
  - (ix)  $NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined

- (x)  $\text{O-S(O)}_n\text{R}^3$  where  $n$  and  $\text{R}^3$  are as previously defined
- (xi)  $\text{C}_3\text{-C}_7\text{-cycloalkyl}$
- (xii)  $\text{C}_1\text{-C}_{12}\text{-alkyl}$  substituted with heteroaryl
- (xiii) aryl, and optionally substituted aryl
- (xiv) heteroaryl, and optionally substituted heteroaryl
- (xv)  $\text{NR}^4\text{C(O)R}^3$  where  $\text{R}^3$  and  $\text{R}^4$  are as previously defined
- (xvi)  $\text{NR}^4\text{C(O)NR}^5\text{R}^6$  where  $\text{R}^4$ ,  $\text{R}^5$  and  $\text{R}^6$  are as previously defined
- (xvii)  $=\text{N-O-R}^4$  where  $\text{R}^4$  is as previously defined
- (xviii)  $=\text{N-NR}^7\text{R}^8$  wherein  $\text{R}^7$  and  $\text{R}^8$  are as previously defined
- (xix)  $=\text{N-NR}^4\text{C(O)R}^3$  wherein  $\text{R}^3$  and  $\text{R}^4$  are as previously defined, and
- (xx)  $=\text{N-NR}^4\text{C(O)NR}^5\text{R}^6$  wherein  $\text{R}^4$ ,  $\text{R}^5$  and  $\text{R}^6$  are as previously defined,
- (8) cyclic substituents selected from the group consisting of
  - (i) aryl, and optionally substituted aryl
  - (ii) heteroaryl, and optionally substituted heteroaryl
  - (iii) heterocycloalkyl, and optionally substituted heterocycloalkyl, and
  - (iv)  $\text{C}_3\text{-C}_7\text{-cycloalkyl}$ , and optionally substituted  $\text{C}_3\text{-C}_7\text{-cycloalkyl}$ , and
- (9)  $\text{C}_1$  substituents with the exception of 10-methyl derivatives which are part of the above definitions under (1)
  - (i)  $-\text{CHO}$
  - (ii)  $-\text{CN}$
  - (iii)  $\text{CO}_2\text{R}^3$  wherein  $\text{R}^3$  is as previously defined
  - (iv)  $\text{C(O)NR}^5\text{R}^6$  wherein  $\text{R}^5$  and  $\text{R}^6$  are as previously defined
  - (v)  $\text{C(S)NR}^5\text{R}^6$  wherein  $\text{R}^5$  and  $\text{R}^6$  are as previously defined
  - (vi)  $\text{C(NR}^4\text{)NR}^5\text{R}^6$  wherein  $\text{R}^4$ ,  $\text{R}^5$  and  $\text{R}^6$  are as previously defined
  - (vii)  $\text{CH=N-O-R}^4$  wherein  $\text{R}^4$  is as previously defined
  - (viii)  $\text{CH=N-R}^4$  is wherein  $\text{R}^4$  is as previously defined
  - (ix)  $\text{CH=N-NR}^7\text{R}^8$  wherein  $\text{R}^7$  and  $\text{R}^8$  are as previously defined
  - (x)  $\text{CH=N-NR}^4\text{C(O)R}^3$  wherein  $\text{R}^3$  and  $\text{R}^4$  are as previously defined, and
  - (xi)  $\text{CH=N-NR}^4\text{C(O)NR}^5\text{R}^6$  wherein  $\text{R}^4$ ,  $\text{R}^5$  and  $\text{R}^6$  are as previously defined; $\text{R}^1$  is selected from the group consisting of
  - (1) H
  - (2) methyl
  - (3) methyl substituted with one or more substituents selected from the group consisting of
    - (i) F
    - (ii)  $-\text{CN}$
    - (iii)  $-\text{CO}_2\text{R}^{11}$  where  $\text{R}^{11}$  is  $\text{C}_1\text{-C}_3\text{-alkyl}$  or aryl substituted  $\text{C}_1\text{-C}_3\text{-alkyl}$ , or heteroalkyl substituted

C<sub>1</sub>-C<sub>3</sub>-alkyl

(iv) -C(O)NR<sup>5</sup>R<sup>6</sup> wherein R<sup>5</sup> and R<sup>6</sup> are defined as previously

(v) aryl, and optionally substituted aryl, and

(vi) heteroaryl, and optionally substituted heteroaryl

(4) C<sub>2</sub>-C<sub>10</sub>-alkyl

(5) substituted C<sub>2</sub>-C<sub>10</sub>-alkyl with one or more substituents selected from the group consisting of

(i) halogen,

(ii) OR<sup>4</sup> where R<sup>4</sup> is defined as previously

(iii) C<sub>1</sub>-C<sub>3</sub>-alkoxy-C<sub>1</sub>-C<sub>3</sub>-alkoxy

(iv)-CHO

(v) oxo

(vi)NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined

(vii) =N-O-R<sup>4</sup> where R<sup>4</sup> is as previously defined

(viii) -CN

(ix) -S(O)<sub>n</sub>R<sup>3</sup> where n = 0, 1, or 2 and R<sup>3</sup> is as previously defined

(x)aryl, and optionally substituted aryl

(xi) heteroaryl, and optionally substituted heteroaryl

(xii) C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, and optionally substituted C<sub>3</sub>-C<sub>8</sub>-cycloalkyl

(xiii) C<sub>1</sub>-C<sub>12</sub>-alkyl substituted with heteroaryl, and optionally substituted heteroaryl

(xiv) heterocycloalkyl

(xv) NHC(O)R<sup>3</sup> where R<sup>3</sup> is as previously defined

(xvi) NHC(O)NR<sup>5</sup>R<sup>6</sup> where R<sup>5</sup> and R<sup>6</sup> are as previously defined

(xvii)=N-NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined

(xviii) =N-R<sup>4</sup> wherein R<sup>4</sup> as previously defined, and

(xix)=N-NHC(O)R<sup>3</sup> wherein R<sup>3</sup> is as previously defined,

(4) C<sub>1</sub>-C<sub>10</sub>-alkenyl substituted with one or more substituents selected from the group consisting of

(i) halogen,

(ii) OR<sup>4</sup> where R<sup>4</sup> is as previously defined

(iii)-CHO

(iv) oxo

(v) -S(O)<sub>n</sub>R<sup>3</sup> where n and R<sup>3</sup> are as previously defined

(vi) -CN

(vii) -CO<sub>2</sub>R<sup>3</sup> where R<sup>3</sup> is as previously defined

(viii)NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are as previously defined

(ix) =N-O-R<sup>4</sup> where R<sup>4</sup> is as previously defined

- (x)  $-C(O)-R^4$  where  $R^4$  is as previously defined
- (xi)  $-C(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined
- (xii) aryl, and optionally substituted aryl
- (xiii) heteroaryl, and optionally substituted heteroaryl
- (xiv)  $C_3-C_7$ -cycloalkyl
- (xv)  $C_1-C_{12}$ -alkyl substituted with heteroaryl
- (xvi)  $NHC(O)R^3$  where  $R^3$  is as previously defined
- (xvii)  $NHC(O)NR^5R^6$  where  $R^5$  and  $R^6$  are as previously defined
- (xviii)  $=N-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
- (xix)  $=N-R^4$  wherein  $R^4$  is as previously defined,
- (xx)  $=N-NHC(O)R^3$  wherein  $R^3$  is as previously defined, and
- (xxi)  $=N-NHC(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined,
- (5)  $C_2-C_{10}$ -alkynyl, and
- (6)  $C_2-C_{10}$ -alkynyl substituted with one or more substituents selected from the group consisting of
  - (i) halogen,
  - (ii)  $OR^4$  where  $R^4$  is defined as previously
  - (iii)  $-CHO$
  - (iv) oxo
  - (v)  $-CO_2R^3$  where  $R^3$  is as previously defined
  - (vi)  $-C(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined
  - (vii)  $-CN$
  - (viii)  $NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
  - (ix)  $=N-O-R^4$  where  $R^4$  is as previously defined
  - (x)  $-S(O)_nR^3$  where  $n$  and  $R^3$  are as previously defined
  - (xi) aryl, and optionally substituted aryl
  - (xii) heteroaryl, and optionally substituted heteroaryl
  - (xiii)  $C_3-C_7$ -cycloalkyl
  - (xiv)  $C_1-C_{12}$ -alkyl substituted with heteroaryl
  - (xv)  $NHC(O)R^3$  where  $R^3$  is as previously defined
  - (xvi)  $NHC(O)NR^5R^6$  where  $R^5$  and  $R^6$  are as previously defined
  - (xvii)  $=N-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined
  - (xviii)  $=N-R^4$  wherein  $R^4$  is as previously defined
  - (xix)  $=N-NHC(O)R^3$  wherein  $R^3$  is as previously defined, and
  - (xx)  $=N-NHC(O)NR^5R^6$  wherein  $R^5$  and  $R^6$  are as previously defined; $R^2$  is selected from the group consisting of

- (1) hydrogen
- (2) OH
- (3)  $OR^3$  where  $R^3$  is as previously defined
- (4)  $OC(O)R^3$  where  $R^3$  is as previously defined, and
- (5)  $O(CO)OR^3$  where  $R^3$  is as previously defined;

and X and Y taken together are selected from the group consisting of

- (1) O
- (2)  $NOR^4$  wherein  $R^4$  is as defined previously
- (3)  $N-O C(R^9)(CR^{10})-O-R^4$  where  $R^4$  is as previously defined and
  - (i)  $R^9$  and  $R^{10}$  are each independently defined as  $R^4$ , or
  - (ii)  $R^9$  and  $R^{10}$  are taken together with the atom to which they are attached form a  $C_3$ - $C_{12}$  cycloalkyl ring,
- (4)  $NR^4$  wherein  $R^4$  is as previously defined, and
- (5)  $N-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined, or one of X and Y is hydrogen and the other is selected from the group consisting of
  - (1)  $-OR^4$  wherein  $R^4$  is as previously defined, and
  - (2)  $-NR^7R^8$  wherein  $R^7$  and  $R^8$  are as previously defined.

$R^p$  is selected from the group consisting of

- (1) hydrogen
- (2)  $R^3$  as previously defined
- (3)  $COR^3$  where  $R^3$  is as previously defined;

subject to the proviso that when the structure is IV, Z and M are part of a five- or six- membered ring, said rings optionally being fully or partially unsaturated; for the six- membered ring, the bonding between Z and M is through a carbonyl group; for the five- membered ring, the bonding is directly between Z and M excluding CO; Z and M are independently selected from the group consisting of carbon, oxygen or N; and when  $M=N$  a second bridge may exist between this nitrogen and the oxygen of the 12-OH group whereby either an additional annulated oxazole or oxazine ring constitutes part of the molecule; and subject to the proviso that when the structure is V, Z and M are part of a five- or six- membered ring, said rings optionally being fully saturated or fully or partially unsaturated; for the six- membered ring, the bonding between Z and M is through a carbonyl group; for the five- membered ring, the bonding is directly between Z and M excluding CO; Z and M are independently selected from the group consisting of carbon, oxygen or nitrogen; and when  $M=N$  a second bridge may exist between this nitrogen and the urethane nitrogen;

wherein aryl groups have 5 to 10 ring atoms, and heteroaryl groups have 5 to 10 ring atoms including C and at least one of N, O or S.

7. (Previously Presented) A pharmaceutical composition comprising an antibiotic 10-desmethyl macrolide of claim 6 and a pharmaceutical excipient.
8. (Cancelled)
9. (Previously Presented) A method of treatment of a human or animal subject to combat bacterial infection thereof, which method comprises administering to said subject an antibiotic 10-desmethyl macrolide of claim 6.
10. (Cancelled)